

measurement of the AB permeability through a monolayer of gut epithelial cells (e.g. CaCo2 or TC-7) (example 15, Lennernäs 1997 Journal of Pharmacy and Pharmacology 49: 627-38). The compounds according to the invention which can be used as C5aR antagonists, show a significantly increased AB permeability due to the hydrophobic substitution of the C-terminal arginine. For example, the antagonist Ac-Phe-[Orn-Hyp-cha-Trp-Phe] has a surprisingly high permeability of  $14.3 \times 10^{-6}$  cm/s compared to the bad permeability of  $0.52 \times 10^{-6}$  of the charged antagonist Ac-Phe-[Orn-Pro-cha-Trp-Arg] [SEQ ID NO: 61]. The high permeability is in terms of figures within a range close to the one of orally well available compounds. An example for an orally well available compound is Propanolol, which shows an AB permeability of  $31.1 \times 10^{-6}$  cm/s in this test by Lennernäs.

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**Please replace paragraph 4 on page 82 with the following amended paragraph:**

**Example 2: Synthesis of Ac-Phe-[Orn-Pro-cha-Trp-Phe] (1) [SEQ ID NO: 7]**

After linear peptide synthesis in accordance with AAV 1, cyclization in accordance with AAV 2, and subsequent purification via HPLC, 50.9 mg of the desired product Ac-Phe-[Orn-Pro-cha-Trp-Phe] [SEQ ID NO: 7] were obtained as white solid.

MS (ESI):  $m/z = 888.3 [(M+H)^+]$ .

**Please replace paragraph 2 on page 85 with the following amended paragraph:**

**Example 9: Synthesis of Ac-Phe-[Orn-Pro-cha-Trp-Arg(CH<sub>2</sub>CH<sub>2</sub>)] (7) [SEQ ID NO: 62]**

The linear peptide Ac-Phe-Orn-Pro-cha-Trp-Orn-OH was synthesized in accordance with AAV 1, cyclized in accordance with AAV 2, and the resulting cyclic peptide Ac-Phe-[Orn-Pro-cha-Trp-Orn] was purified via HPLC. Subsequently, 2.6 mg of the peptide were reacted with 22.6 mg (30 eq.) 2-(methylmercapto)-2-imidazoline-hydroiodide and 29.7  $\mu$ l (60 eq.) DIPEA in 260  $\mu$ l MeOH. After stirring for 2 days at 50°C, the solvent was removed by a rotary evaporator and the